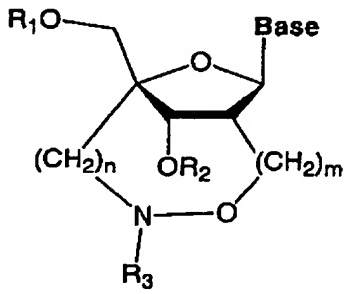
Claims

[1] A compound of the following general formula (I) and a salt thereof:

[Chemical Formula 1]



(I)

where Base represents an aromatic heterocyclic group or aromatic hydrocarbon ring group optionally having a substituent,

R_1 and R_2 are identical or different, and each represent a hydrogen atom, a protective group for a hydroxyl group for nucleic acid synthesis, an alkyl group, an alkenyl group, a cycloalkyl group, an aryl group, an aralkyl group, an acyl group, a sulfonyl group, a silyl group, a phosphate group, a phosphate group protected with a protective group for nucleic acid synthesis, or $-P(R_4)R_5$ [where R_4 and R_5 are identical or different, and each represent a hydroxyl group, a hydroxyl group protected with a protective group for nucleic acid synthesis, a mercapto group, a mercapto group protected with a protective group for nucleic acid synthesis, an amino group, an alkoxy group having 1 to 5 carbon atoms, an alkylthio group having 1 to 5 carbon atoms, a cyanoalkoxy group having 1 to 6 carbon

atoms, or an amino group substituted by an alky group having 1 to 5 carbon atoms],

R_3 represents a hydrogen atom, an alkyl group, an alkenyl group, a cycloalkyl group, an aryl group, an aralkyl group, an acyl group, a sulfonyl group, or a functional molecule unit substituent, and

m denotes an integer of 0 to 2, and n denotes an integer of 1 to 3.

[2] The compound and the salts thereof according to claim 1, wherein R_1 is a hydrogen atom, an aliphatic acyl group, an aromatic acyl group, an aliphatic or aromatic sulfonyl group, a methyl group substituted by one to three aryl groups, a methyl group substituted by one to three aryl groups having an aryl ring substituted by a lower alkyl, lower alkoxy, halogen, or cyano group, or a silyl group.

[3] The compound and the salt thereof according to claim 1, wherein R_1 is a hydrogen atom, an acetyl group, a benzoyl group, a methanesulfonyl group, a p-toluenesulfonyl group, a benzyl group, a p-methoxybenzyl group, a trityl group, a dimethoxytrityl group, a monomethoxytrityl group, or a tert-butyldiphenylsilyl group.

[4] The compound and the salts thereof according to any one of claims 1 to 3, wherein R_2 is a hydrogen atom, an aliphatic acyl group, an aromatic acyl group, an aliphatic or aromatic sulfonyl group, a methyl group substituted by one to three aryl groups, a methyl group substituted by one to three aryl groups having an aryl ring substituted by a

lower alkyl, lower alkoxy, halogen, or cyano group, a silyl group, a phosphoroamidite group, a phosphonyl group, a phosphate group, or a phosphate group protected with a protective group for nucleic acid synthesis.

[5] The compound and the salt thereof according to any one of claims 1 to 3, wherein R_2 is a hydrogen atom, an acetyl group, a benzoyl group, a methanesulfonyl group, a p-toluenesulfonyl group, a benzyl group, a p-methoxybenzyl group, a tert-butyldiphenylsilyl group, $-P(OC_2H_4CN)(N(i\text{-}Pr)_2)$, $-P(OCH_3)(N(i\text{-}Pr)_2)$, a phosphonyl group, or a 2-chlorophenyl- or 4-chlorophenylphosphate group.

[6] The compound and the salt thereof according to any one of claims 1 to 5, wherein R_3 is a hydrogen atom, a phenoxyacetyl group, an alkyl group having 1 to 5 carbon atoms, an alkenyl group having 1 to 5 carbon atoms, an aryl group having 6 to 14 carbon atoms, a methyl group substituted by one to three aryl groups, a lower aliphatic or aromatic sulfonyl group such as a methanesulfonyl group or a p-toluenesulfonyl group, an aliphatic acyl group having 1 to 5 carbon atoms such as an acetyl group, or an aromatic acyl group such as a benzoyl group.

[7] The compound and the salt thereof according to any one of claims 1 to 6, wherein the functional molecule unit substituent as R_3 is a fluorescent or chemiluminescent labeling molecule, a nucleic acid incision activity functional group, or an intracellular or nuclear transfer signal peptide.

[8] The compound and the salt thereof according to any

one of claims 1 to 7, wherein Base is a purin-9-yl group, a 2-oxopyrimidin-1-yl group, or a purin-9-yl group or a 2-oxopyrimidin-1-yl group having a substituent selected from the following α group:

α group: A hydroxyl group, a hydroxyl group protected with a protective group for nucleic acid synthesis, an alkoxy group having 1 to 5 carbon atoms, a mercapto group, a mercapto group protected with a protective group for nucleic acid synthesis, an alkylthio group having 1 to 5 carbon atoms, an amino group, an amino group protected with a protective group for nucleic acid synthesis, an amino group substituted by an alkyl group having 1 to 5 carbon atoms, an alkyl group having 1 to 5 carbon atoms, and a halogen atom.

[9] The compound and the salt thereof according to any one of claims 1 to 8, wherein Base is 6-aminopurin-9-yl (i.e., adeninyl), 6-aminopurin-9-yl having the amino group protected with a protective group for nucleic acid synthesis, 2,6-diaminopurin-9-yl, 2-amino-6-chloropurin-9-yl, 2-amino-6-chloropurin-9-yl having the amino group protected with a protective group for nucleic acid synthesis, 2-amino-6-fluoropurin-9-yl, 2-amino-6-fluoropurin-9-yl having the amino group protected with a protective group for nucleic acid synthesis, 2-amino-6-bromopurin-9-yl, 2-amino-6-bromopurin-9-yl having the amino group protected with a protective group for nucleic acid synthesis, 2-amino-6-hydroxypurin-9-yl (i.e., guaninyl), 2-amino-6-hydroxypurin-9-yl having the amino group protected

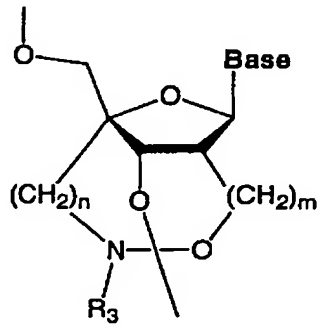
with a protective group for nucleic acid synthesis, 6-amino-2-methoxypurin-9-yl, 6-amino-2-chloropurin-9-yl, 6-amino-2-fluoropurin-9-yl, 2,6-dimethoxypurin-9-yl, 2,6-dichloropurin-9-yl, 6-mercaptopurin-9-yl, 2-oxo-4-amino-1,2-dihydropyrimidin-1-yl (i.e., cytosinyl), 2-oxo-4-amino-1,2-dihydropyrimidin-1-yl having the amino group protected with a protective group for nucleic acid synthesis, 2-oxo-4-amino-5-fluoro-1,2-dihydropyrimidin-1-yl, 2-oxo-4-amino-5-fluoro-1,2-dihydropyrimidin-1-yl having the amino group protected with a protective group for nucleic acid synthesis, 4-amino-2-oxo-5-chloro-1,2-dihydropyrimidin-1-yl, 2-oxo-4-methoxy-1,2-dihydropyrimidin-1-yl, 2-oxo-4-mercapto-1,2-dihydropyrimidin-1-yl, 2-oxo-4-hydroxy-1,2-dihydropyrimidin-1-yl (i.e., uracinyl), 2-oxo-4-hydroxy-5-methyl-1,2-dihydropyrimidin-1-yl (i.e., thyminyl), 4-amino-5-methyl-2-oxo-1,2-dihydropyrimidin-1-yl (i.e., 5-methylcytosinyl), or 4-amino-5-methyl-2-oxo-1,2-dihydropyrimidin-1-yl having the amino group protected with a protective group for nucleic acid synthesis.

[10] The compound and the salt thereof according to any one of claims 1 to 9, wherein m is 0, and n is 1.

[11] An oligonucleotide analogue, as a DNA oligonucleotide or RNA oligonucleotide analogue, containing one or two or more of one or more types of unit structures of nucleoside analogues represented by the following general formula (II), or a pharmacologically acceptable salt thereof, provided that a form of linking between respective nucleosides in the oligonucleotide analogue may contain one or two or more

phosphorothioate bonds [-OP(O)(S^-)O-] aside from a phosphodiester bond [-OP(O_2^-)O-] identical with that in a natural nucleic acid, and if two or more of one or more types of these structures are contained, Base may be identical or different between these structures.

[Chemical Formula 2]



(II)

where Base represents an aromatic heterocyclic group or aromatic hydrocarbon ring group optionally having a substituent,

R_3 represents a hydrogen atom, an alkyl group, an alkenyl group, a cycloalkyl group, an aryl group, an aralkyl group, an acyl group, a sulfonyl group, a silyl group, or a functional molecule unit substituent, and

m denotes an integer of 0 to 2, and n denotes an integer of 1 to 3.

[12] The oligonucleotide analogue or the pharmacologically acceptable salt thereof according to claim 11, wherein R_1 is a hydrogen atom, an aliphatic acyl group, an aromatic acyl group, an aliphatic or aromatic sulfonyl group, a methyl group substituted by one to three aryl groups, a methyl group substituted by one to three aryl groups having an aryl ring substituted by a lower alkyl, lower alkoxy,

halogen, or cyano group, or a silyl group.

[13] The oligonucleotide analogue or the pharmacologically acceptable salt thereof according to claim 11, wherein R_1 is a hydrogen atom, an acetyl group, a benzoyl group, a methanesulfonyl group, a p-toluenesulfonyl group, a benzyl group, a p-methoxybenzyl group, a trityl group, a dimethoxytrityl group, a monomethoxytrityl group, or a tert-butyldiphenylsilyl group.

[14] The oligonucleotide analogue or the pharmacologically acceptable salt thereof according to any one of claims 11 to 13, wherein R_2 is a hydrogen atom, an aliphatic acyl group, an aromatic acyl group, an aliphatic or aromatic sulfonyl group, a methyl group substituted by one to three aryl groups, a methyl group substituted by one to three aryl groups having an aryl ring substituted by a lower alkyl, lower alkoxy, halogen, or cyano group, a silyl group, a phosphoroamidite group, a phosphonyl group, a phosphate group, or a phosphate group protected with a protective group for nucleic acid synthesis.

[15] The oligonucleotide analogue or the pharmacologically acceptable salt thereof according to any one of claims 11 to 13, wherein R_2 is a hydrogen atom, an acetyl group, a benzoyl group, a benzyl group, a p-methoxybenzyl group, a methanesulfonyl group, a p-toluenesulfonyl group, a tert-butyldiphenylsilyl group, $-P(OC_2H_4CN)(N(i\text{-}Pr)_2)$, $-P(OCH_3)(N(i\text{-}Pr)_2)$, a phosphonyl group, or a 2-chlorophenyl- or 4-chlorophenylphosphate group.

[16] The oligonucleotide analogue or the pharmacologically

acceptable salt thereof according to any one of claims 11 to 15, wherein R_3 is a hydrogen atom, a phenoxyacetyl group, an alkyl group having 1 to 5 carbon atoms, an alkenyl group having 1 to 5 carbon atoms, an aryl group having 6 to 14 carbon atoms, a methyl group substituted by one to three aryl groups, a lower aliphatic or aromatic sulfonyl group such as a methanesulfonyl group or a p-toluenesulfonyl group, an aliphatic acyl group having 1 to 5 carbon atoms such as an acetyl group, or an aromatic acyl group such as a benzoyl group.

[17] The oligonucleotide analogue or the pharmacologically acceptable salt thereof according to any one of claims 11 to 16, wherein the functional molecule unit substituent as R_3 is a fluorescent or chemiluminescent labeling molecule, a nucleic acid incision activity functional group, or an intracellular or nuclear transfer signal peptide.

[18] The oligonucleotide analogue or the pharmacologically acceptable salt thereof according to any one of claims 11 to 17, wherein Base is a purin-9-yl group, a 2-oxopyrimidin-1-yl group, or a purin-9-yl group or a 2-oxopyrimidin-1-yl group having a substituent selected from the following α group:

α group: A hydroxyl group, a hydroxyl group protected with a protective group for nucleic acid synthesis, an alkoxy group having 1 to 5 carbon atoms, a mercapto group, a mercapto group protected with a protective group for nucleic acid synthesis, an alkylthio group having 1 to 5 carbon atoms, an amino group, an amino group protected with

a protective group for nucleic acid synthesis, an amino group substituted by an alkyl group having 1 to 5 carbon atoms, an alkyl group having 1 to 5 carbon atoms, and a halogen atom.

[19] The oligonucleotide analogue or the pharmacologically acceptable salt thereof according to any one of claims 11 to 18, wherein Base is 6-aminopurin-9-yl (i.e. adeninyl), 6-aminopurin-9-yl having the amino group protected with a protective group for nucleic acid synthesis, 2,6-diaminopurin-9-yl, 2-amino-6-chloropurin-9-yl, 2-amino-6-chloropurin-9-yl having the amino group protected with a protective group for nucleic acid synthesis, 2-amino-6-fluoropurin-9-yl, 2-amino-6-fluoropurin-9-yl having the amino group protected with a protective group for nucleic acid synthesis, 2-amino-6-bromopurin-9-yl, 2-amino-6-bromopurin-9-yl having the amino group protected with a protective group for nucleic acid synthesis, 2-amino-6-hydroxypurin-9-yl (i.e., guaninyl), 2-amino-6-hydroxypurin-9-yl having the amino group protected with a protective group for nucleic acid synthesis, 6-amino-2-methoxypurin-9-yl, 6-amino-2-chloropurin-9-yl, 6-amino-2-fluoropurin-9-yl, 2,6-dimethoxypurin-9-yl, 2,6-dichloropurin-9-yl, 6-mercaptopurin-9-yl, 2-oxo-4-amino-1,2-dihydropyrimidin-1-yl (i.e., cytosinyl), 2-oxo-4-amino-1,2-dihydropyrimidin-1-yl having the amino group protected with a protective group for nucleic acid synthesis, 2-oxo-4-amino-5-fluoro-1,2-dihydropyrimidin-1-yl, 2-oxo-4-amino-5-fluoro-1,2-dihydropyrimidin-1-yl group having the amino group

protected with a protective group for nucleic acid synthesis, 4-amino-2-oxo-5-chloro-1,2-dihydropyrimidin-1-yl, 2-oxo-4-methoxy-1,2-dihydropyrimidin-1-yl, 2-oxo-4-mercapto-1,2-dihydropyrimidin-1-yl, 2-oxo-4-hydroxy-1,2-dihydropyrimidin-1-yl (i.e., uracinyl), 2-oxo-4-hydroxy-5-methyl-1,2-dihydropyrimidin-1-yl (i.e., thyminyl), 4-amino-5-methyl-2-oxo-1,2-dihydropyrimidin-1-yl (i.e., 5-methylcytosinyl), or 4-amino-5-methyl-2-oxo-1,2-dihydropyrimidin-1-yl having the amino group protected with a protective group for nucleic acid synthesis.

[20] The oligonucleotide analogue or the pharmacologically acceptable salt thereof according to any one of claims 11 to 19, wherein m is 0, and n is 1.